



1623

PTO/SB/21 (02-04)

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<b>TRANSMITTAL FORM</b>  (to be used for all correspondence after initial filing)	Application Number	10/081,345
	Filing Date	February 22, 2002
	First Named Inventor	Karpf
	Art Unit	1623
	Examiner Name	Devesh Khare
Total Number of Pages in This Submission	Attorney Docket Number	20407 US1

ENCLOSURES (Check all that apply)		
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SIGNATURE OF APPLICANT, ATTORNEY, OR AGENT		
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Date	June 16, 2004	

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PATENT APPLICATION

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application

Inventor(s) Martin Karpf, Rene Trussardi

Group: 1623

Serial No. 10/081,345, filed February 22, 2002  
Docket No. 20407 US1

Examiner: Devesh Khare

For: PROCESS FOR PREPARING 1,2-DIAMINO COMPOUNDS

**INFORMATION DISCLOSURE STATEMENT**

Nutley, New Jersey 07110  
June 16, 2004

Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

Sir:

Pursuant to 37 C.F.R. §§ 1.56, 1.97 and 1.98, applicants respectfully direct the Examiner's attention to the documents listed on enclosed Form PTO-1449.

Documents C14 – C68 listed on Form PTO-1449 are enclosed.

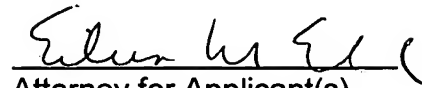
Consideration of all the documents cited on Form PTO-1449 is requested.

It is believed that no fee is due because the present information disclosure statement is submitted prior to the receipt of a first Office Action on the merits following the filing of the Request for Continued Examination under 37 CFR § 1.114 dated May 21, 2004.

Serial No. 10/081,345  
Filed: February 22, 2002

If it is found that any fees are owing, please charge our deposit account number 08-2525.

Respectfully submitted,



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Substitute for form 1449A/PTO				<b>Complete if Known</b>	
<b>INFORMATION DISCLOSURE STATEMENT BY APPLICANT</b>  (Use several sheets if necessary)				Application Number	10/081,345
				Filing Date	February 22, 2002
				First Name Inventor	Martin Karpf
				Group Art Unit	1623
				Examiner Name	Devesh Khare
Sheet	1	of	6	Attorney Docket Number	20407 US1

**NON PATENT LITERATURE DOCUMENTS**

Examiner Initials <sup>7</sup>	Cite No. <sup>1</sup>	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published	T <sup>2</sup>
	C14	K.G. AKAMANCHI, et. al., "Diisopropoxyaluminium Trifluoroacetate: A New off the Shelf Metal Alkoxide Type Reducing Agent for Reduction of Aldehydes and Ketones," Synlett, 371-372 (1997)	
	C15	C. ANAYA de PARRODI, et. al. "Application of Phosphorylated Reagents Derived from N,N <sup>1</sup> -di-[(S)- $\alpha$ -phenylethyl]cyclohexane-1,2-diamines in the Determination of the Enantiomeric Purity of Chiral Alcohols," Tetrahedron: Asymmetry, 9, 2093-2099 (1998)	
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	C17	A.P.A. ARBORE, et. al., "A Rapid Approach to Amino-Acid Derivatives by [2,3]-Stevens Rearrangement" Synlett, 2, 236-38 (2000)	
	C18	J. AUGÉ, et. al., "Lithium Trifluoromethanesulfonate-catalysed Aminolysis of Oxiranes," Tetrahedron Lett. 37, 7715-7716 (1996)	
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	C20	M. BEATON, et. al., "Synthesis of 6-Amino-3,5-deoxyinositol 1-Phosphates via (1R,2R,4R,6S)-1,6 Epoxy-2,4-bis-benzyloxycyclohexane Aminolysis in Aqueous Ytterbium Triflate Solution," Tetrahedron Lett., 39, 8549-8552 (1998)	
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Examiner Signature			Date Considered

\*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

<sup>1</sup>Unique citation designation number. <sup>2</sup>See attached Kinds of U.S. Patent Documents. <sup>3</sup>Enter Office that issued the document, by the two-letter code (WIPO Standard ST.3). <sup>4</sup>For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. <sup>5</sup>Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST. 16 if possible. <sup>6</sup>Applicant is to place a check mark here if English language Translation or abstract is attached.

Substitute for form 1449A/PTO				<b>Complete if Known</b>	
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	C22	F.M. CALLAHAN, et. al., "The Tertiary Buyl Group as a Blocking Agent for Hydroxyl Sulphydryl and Amido Functions in Peptide Synthesis" J. Am. Chem. Soc. 85, 201-7 (1963)	
	C23	M. CANAS, et. al., "Regioselective Ring Opening of Chiral Epoxyalcohols by Primary Amines," Tetrahedron Lett. 32, 6931-6934 (1991)	
	C24	M. CHINI, et. al. "Metal Salts as New Catalysts for Mild and Efficient Aminolysis of Oxiranes," Tetrahedron Lett. 31, 4661-4664 (1990)	
	C25	M. CHINI, et. al. "Regioalternating Selectivity in the Metal Salt Catalyzed Aminolysis of Styrene Oxide," J. Org. Chem. 56, 5939-5942 (1991)	
	C26	J.M. CHONG, et. al., "Nucleophilic Openings of 2,3-Epoxy Acids and Amides Mediated by Ti(O- <i>i</i> -Pr) <sub>4</sub> Reliable C-3 Selectivity," J. Org. Chem.. 50, 1560-1563 (1985)	
	C27	C.R. CLARK, et. al., "Highly Selective Opioid Analgesics. Synthesis and Structure-Activity Relationships of Novel N-[2-Aminocyclohexyl]aryl]acetamide and N-[2-Aminocyclohexyl]aryoxy]acetamide Derivatives," J. Med. Chem., 31, 831-836 (1988)	
	C28	G.E. COATES, et. al. "Some t-Butylmagnesium and Related Complexes. Reactions between Hydrides and Organomagnesium Compounds," J. Chem. Soc (A) 514-518 (1968)	
	C29	N. DE KIMPE, et. al., "Synthesis of 2,2-Dialkyl-1-aminocyclopropanecarboxylic Acids from $\alpha$ -Chloro Ketimines," J. Org. Chem. 55, 5777-5784 (1990)	
	C30	J.A. DEYRUP, et. al. "1,2,3-Oxathiazolidines-a New Heterocyclic System", J. Org. Chem 34, 175-179 (1969)	
	C31	M.J. EARLE, et. al. "A New Synthesis of Primary Amines Using tert-Butylamine as an Ammonia Equivalent: The Triflic Acid Catalysed Removal of N-tert-Butyl Groups from Carbamates," Synlett, 621-623 (1990)	
	C32	D.F. EVANS, et. al., "Studies in Grignard Reagents. Part II. NNN'N'-Tetraethylethylene-diamine Grignard Adducts," J. Chem. Soc (A) 1648-1649 (1967)	



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				Group Art Unit	1623
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	C33	M. FUJIWARA, et. al. "Tetraphenylstibonium Triflate as a Regio-and Chemoselective Catalyst in the Reaction of Oxiranes with Amines," Tetrahedron Lett., 30, 739-742 (1989)	
	C34	F. GARRO-HELION, et. al., "Mild and Selective Palladium(0)-Catalyzed Deallylation of Allylic Amines. Allylamine and Diallylamine as Very Convenient Ammonia Equivalents for the Synthesis of Primary Amines," J. Org. Chem. 58, 6109-6113 (1993)	
	C35	P.R. HALFPENNY, et. al. "Highly Selective $\kappa$ -Opioid Analgesics. 2. Synthesis and Structure-Activity Relationships of Novel N-[2-Aminocyclohexyl]aryl]acetamide Derivatives," J. Med. Chem. 32, 1620-1626 (1989)	
	C36	J.Y. HAM, et. al., "A New Convenient Method for the Monoprotection of $\omega$ -alkanediamines," Bull. Korean Chem. Soc., 15, 1025-1027 (1994)	
	C37	G. HOFLE, et. al. "4-Dialkylaminopyridines as Highly Active Acylation Catalysts", Agnew Chem. Int. Ed. Engl., 17, 569-583 (1978)	
	C38	M. KARPFF, et. al., "New, Azide-Free Transformation of Epoxides into 1,2-Diamino Compounds: Synthesis of the Anti-influenza Neuraminidase Inhibitor Oseltamivir Phosphate (Tamiflu)," J. Org. Chem. 66, 2044-2051 (2001)	
	C39	G.S. KAUFFMAN, et. al., "An Efficient Chiral Moderator Prepared from Inexpensive (+)-3-Carene: Synthesis of the HIV-1 Non-Nucleoside Reverse Transcriptase Inhibitor DPC 963," Org. Lett., 2, 3119-3121 (2000)	
	C40	R.N. LACEY, "The Acid-catalysed Heterolysis of Amides with Alkyl-Nitrogen fission (A <sub>AL</sub> )," J. Chem. Soc. 1633-1639 (1960)	

Substitute for form 1449A/PTO

**INFORMATION DISCLOSURE  
STATEMENT BY APPLICANT**

(Use several sheets if necessary)

JUN 18 2004

PATENT & TRADEMARK OFFICE

Complete if Known			
Application Number	10/081,345		
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First Name Inventor	Martin Karpf		
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Attorney Docket Number	20407 US1		

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	C41	S. LEPPANEN, et. al., "Nucleophilic Reactivity; Part VIII. Kinetics of Reactions of Acetic Anhydride with Nucleophiles in Water," Acta Chem. Scand., 27, 3572-3578 (1973)	
	C42	G.E. MCCASLAND, et. al., "Stereochemistry of Aminocyclanols. Synthesis of <i>cis</i> Epimers via Oxazolines. The 2-Aminocyclopentanols," J. Am. Chem. Soc. 72, 2190-2195 (1950)	
	C43	S.P. MCMANUS, et. al.,; "The Synthesis of Aminoalcohols From Epoxides and Ammonia," Synthetic Communications 3, 177-180 (1973)	
	C44	M. MEGURO, et. al. "Ytterbium Triflate and High Pressure-mediated Ring Opening of Epoxides with Amines," J. Chem. Soc., Perkin Trans. 1, 2597-2601 (1994)	
	C45	M. MEGURO, et. al. "Ytterbium Triflate Catalyzed Ring Opening of Aziridines with Amines," Tetrahedron Lett., 35, 7395-7398 (1994)	
	C46	M. MOUSSERON, et. al., "No. 173.-Recherches en serie alicyclique(34 memoire)," Bull. Soc.Chim.Fr. 757-766(1952)	
	C47	K. NAKAJIMA, et. al., Studies on Aziridine-2-carboxylic Acid.I. Synthesis of the Optically Active-L-Aziridine-2-carboxylic Acid and its Derivatives," Bull. Chem. Soc. Jpn. 51, 1577-1578 (1978)	
	C48	M. POCH, et. al. "A Versatile Enantiospecific Approach to 3-Azetidinols and Aziridines," Tetrahedron Lett., 32, 6935-6938 (1991)	
	C49	G.H. POSNER, "Organic Reactions at Alumina Surfaces," Angew.Chem.Int.Ed.Engl.17, 487-496 (1978)	
	C50	G.H. POSNER, et. al. "Organic Reactions at Alumina Surfaces. Mild and Selective Opening of Epoxides by Alcohols, Thiols, Benzeneselenol, Amines, and Acetic Acid," J. Am. Chem. Soc. 99, 8208-8214 (1977)	
	C51	G.H. POSNER, et. al. "Organic Reactions at Alumina Surfaces, Mild and Selective Opening of Arene and Related Oxides by Weak Oxygen and Nitrogen Nucleophiles," J. Am. Chem. Soc 99, 8214-8218 (1977)	

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	C52	S. RAMPALLI, et. al., "Diisopropoxyaluminum Trifluoroacetate: A New Promoter for Aminolysis of Epoxides," Synthesis 1, 78-80 (2000)	
	C53	D.C. REES; "Nucleophilic Addition of 2-, 3-, or 4-[2-(Methylamino)ethyl]pyridine to the Aziridine, 7-Methyl-7-azabicyclo[4.1.0]heptane," J. heterocycl. Chem., 27, 147-150 (1990)	
	C54	D.C. REES; "Synthesis of Perhydro-2(1H)-quinoxalinones and Perhydropyrrolo[1,2- $\alpha$ ]quinoxalin-4(5H)-one Derivatives," J. Het. Chem., 24, 1297-1300 (1987)	
	C55	D.B. REITZ, et. al., "A Directed Metalation of <i>N</i> -tert-Butyl- <i>N</i> -methyl-2-methoxybenzamide. Short Syntheses of 2-Methoxy-6-Methylbenzoic Acid and Lunularic," J. Org. Chem. 55, 1375-79 (1990)	
	C56	J. RITTER, et. al. "A New Reaction of Nitriles. I. Amides from Alkenes and Mononitriles," J. Am. Chem. Soc. 70, 4045-4048 (1948)	
	C57	S. SAGAWA, et. al. "Catalytic Asymmetric Aminolysis of 3,5,8-Trioxabicyclo[5.1.0]octane Providing an Optically Pure 2-Amino-1,3,4-butanetriol Equivalent," J. Org. Chem. 64, 4962-4965 (1999)	
	C58	C.M. SCHUELLER, et. al. "Preparation of (R)-(+)-7 Oxabicyclo[2.2.1]hept-5-ene-exo=2-carboxylic Acid, a Precursor to Substrates for the Ring Opening Metathesis Polymerization," Tetrahedron Letters, 37, 8853-8856 (1996)	
	C59	S.Y. KO, et. al., "In Situ Opening of Epoxy Alcohols: A Convenient Alternative to the Isolation of Unstable Epoxy Alcohols," J. Org. Chem. 51, 5413-5415 (1986)	
	C60	J. SZMUSZKOVICZ, et. al.; "Benzeneacetamide Amines: Structurally Novel Non- $\mu$ Opioids," J. med. Chem., 25, 1125-1126	
	C61	P.B. TALUKDAR, et. al., "Chemistry of Ethylenimine. V. Cycloheptenimine or 8-Azabicyclo[5.1.0]octane," J. Org. Chem. 24, 555-556 (1959)	



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	C62	Y. UEDA, et. al. "Highly Regioselective Formation of Bromohydrins by Reaction of Epoxy-Azetidinones with MgBr <sub>2</sub> ; An Alternative Route to 4-Bromomethylcarbonylmethyl-2-Azetidinone, A Key Carbapenem Precursor", Tetrahedron Lett. 29, 5197-5200 (1988)	
	C63	H. URABE, et. al., "Ring Opening of the Epoxide Moiety of (2S, 3S, 4S)-4-Amino-2,3-epoxy-1-alkanol and its Derivatives: A Key Role of Ti(O-i-Pr) <sub>4</sub> as a Mild Catalyst," Tetrahedron 48, 5639-5646 (1992)	
	C64	S. VORWERK, et. al., "Carbocyclic Analogues of N-Acetyl-2,3-didehydro-2-deoxy-D-neuraminic Acid (Neu5Ac2en, Dana)" Synthesis and Inhibition of Viral and Bacterial Neuraminidases", Angew. Chem. Int. Ed. 37, 1732-1734 (1998)	
	C65	F. WINTERNITZ, et. al. "No. 70-Quelques Nouvelies Reactions de la Cyclohexenmine-1,2," Bull. Soc. Chim. Fr. 382-391 (1955)	
	C66	M. YOSHIDA, et. al., "Selective Synthesis of Five and Six Membered Cyclic Carbamates by the Reaction of 2-(1-Haloalkyl) Oxiranes with Carbon Dioxide and Aliphatic Primary Amines," Heterocycles, 35, 623-626 (1993)	
	C67	S. ZHAO, et. al. "Regioselective and Stereoselective Syntheses of 1,2,3-Triaminocyclohexane Derivatives," J.Org. Chem. 58, 4043-4048 (1993)	
	C68	J. MARCH, "Reactions, Mechanisms, and Structure," Advanced Organic Chemistry, 4 <sup>th</sup> Edition, John Wiley & Sons, New York, p. 352-357 (1992)	
Examiner Signature			DATE CONSIDERED

<sup>3</sup>EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

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